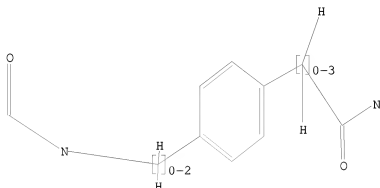


10/597,022

=>  
Uploading C:\Program Files\Stnexp\Queries\10597022.str

L1        STRUCTURE UPLOADED

=> d  
L1 HAS NO ANSWERS  
L1        STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam  
REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:39:26 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 256560 TO ITERATE

0.8% PROCESSED        2000 ITERATIONS        40 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*INCOMPLETE\*\*  
                              BATCH    \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS:        5101513 TO 5160887  
PROJECTED ANSWERS:            98328 TO 106920

L2            40 SEA SSS SAM L1

L3            28 L2

=> s l3 and py<2003

Toh

16/09/2009

10/923,271

22984637 PY<2003

L4 15 L3 AND PY<2003

=> d 1-15 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 84.60 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2003:1014580 CAPLUS

DOCUMENT NUMBER: 138:411244

TITLE: Preparation of N-ureidoalkylpiperidines as modulators of CCR3 chemokine receptor activity for the prevention of asthma and other allergic diseases

INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA

SOURCE: U.S., 126 pp., Cont.-in-part of U.S. Ser. No. 466,442.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 17

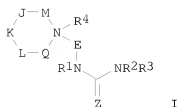
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525069	B1	20030225	US 2000-597400	20000621
US 6331541	B1	20011218	US 1999-465288	19991217 <--
US 6444686	B1	20020903	US 1999-466442	19991217 <--
US 6525069	B1	20030225	US 2000-597400	20000621
ZA 2001003756	A	20020509	ZA 2001-3756	20010509 <--
US 20030013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
US 20030114489	A1	20030619	US 2002-180869	20020626
US 6897234	B2	20050524		
US 20040002515	A1	20040101	US 2002-279416	20021024
US 6875776	B2	20050405		
US 20040006107	A1	20040108	US 2002-279231	20021024
US 6780857	B2	20040824		
US 20050096325	A1	20050505	US 2004-983367	20041108
US 20050192291	A1	20050901	US 2004-21042	20041223
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161221P	P 19991022
			US 1999-466442	A2 19991217
			US 2000-597400	T0 20000621
			US 1999-161137P	P 19991022
			US 1999-161184P	P 19991022
			US 1999-161222P	P 19991022
			US 1999-465287	A3 19991217
			US 1999-465288	A3 19991217
			US 1999-465948	A3 19991217
			US 2002-180869	A1 20020626
			US 2002-279416	A1 20021024

GI

ToH

16/09/2009



AB Title compds. [I; M, Q = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>13</sub>, CR<sub>13</sub>R<sub>13</sub>, CR<sub>5</sub>R<sub>13</sub>; J, K, L = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>6</sub>, CR<sub>6</sub>R<sub>6</sub>, CR<sub>5</sub>R<sub>6</sub>; ≥1 of J, K, L contains R<sub>5</sub>; Z = O, S, NR<sub>1a</sub>, CHCN, CHNO<sub>2</sub>, C(CN)<sub>2</sub>; R<sub>1a</sub> = H, alkyl, cycloalkyl, CN, NO<sub>2</sub>, etc.; E = (substituted) C<sub>3</sub>-6 carbocyclyl, methylenecarbocyclyl, ethylenecarbocyclyl, etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, alkynyl; R<sub>3</sub> = (substituted) alkyl, alkenyl, alkynyl; R<sub>4</sub> = null, N-oxide, alkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.; R<sub>5</sub> = (substituted) alkylenecarbocyclyl, alkyleneheterocyclyl; R<sub>6</sub> = alkyl, alkenyl, alkynyl, alkylcycloalkyl, perfluoroalkyl, hydroxyalkyl, mercaptoalkyl, aminoalkyl, CN, etc.; R<sub>13</sub> = alkyl, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, aminoalkyl, hydroxyalkyl, carboxyalkyl, mercaptoalkyl, acylaminoalkyl, (substituted) phenylalkyl, etc.], were prepared as CCR3 modulators (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) and 3-cyanophenyl isocyanate were stirred 30 min. in THF to give N-3-cyanophenyl-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. [This abstract record is one of 8 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

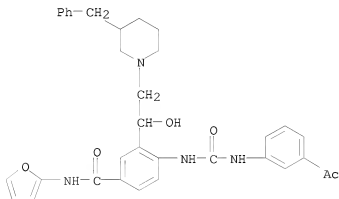
IT 1069107-67-0

RL: PRPH (Prophetic)

(Preparation of N-ureidoalkylpiperidines as modulators of CCR3 chemokine receptor activity for the prevention of asthma and other allergic diseases)

RN 1069107-67-0 CAPLUS

CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT:

34

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:984035 CAPLUS

DOCUMENT NUMBER: 136:410950

TITLE: Preparation of N-ureidoheterocyclylalkylpiperidines as modulators of CCR3 chemokine receptor activity

INVENTOR(S): Ko, Soo S.; Pruitt, James R.; Wacker, Dean A.; Batt, Douglas G.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 485 pp.

CODEN: PIXXD2

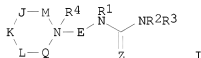
DOCUMENT TYPE: Patent

LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002525 A2		20020110	WO 2001-XB20989	20010629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR				

PRIORITY APPLN. INFO.: US 2000-215215P 20000630  
GI



AB Title compds. [I; M = null, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, K = CH2, CHR5, CHR6, CR6R6, CR5R6; L = CHR5, CR5R6; when M = null, J = CH2, CHR5, CHR13, CR5R13; Z = O, S, NR1a, C(CN)2, CH(NO2), CHCN; R1a = H, alkyl, cycloalkyl, CONR1bR1b, OR1b, CN, NO2, (alkyl)phenyl; R1b = H, alkyl, cycloalkyl, Ph; E = G(CHR')mB(CHR')m; G = bond, CO, SO2; B = (substituted) 5-7 membered saturated heterocyclyl; R1, R2 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl; R3 = (substituted) alkyl, alkenyl, alkynyl, fluoroalkyl, haloalkyl, (alkyl)carbocyclyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R5 = (substituted) (alkyl)cycloalkyl, alkylheterocyclyl; R6 = alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, etc.; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R' = H, alkyl, alkenyl, alkynyl, etc.; m = 0-2], were prepared as modulators of CCR3 chemokine receptor activity (no data). Thus, (3R,4R)-4-amino-3-[(S)-3-(4-fluorobenzyl)piperidine-1-carbonyl]piperidine-1-carboxylic acid tert-Bu ester (preparation given) in THF/Et3N was treated with 3-acetylphenyl isocyanate followed by stirring for 17 h to give 62% (3R,4R)-4-[3-(3-acetylphenyl)ureido]-3-[(S)-3-(4-fluorobenzyl)piperidine-1-carbonyl]piperidine-1-carboxylic acid tert-Bu ester. [This abstract record is one of 20 records for this document necessitated by the large number of

index entries required to fully index the document and publication system constraints.]

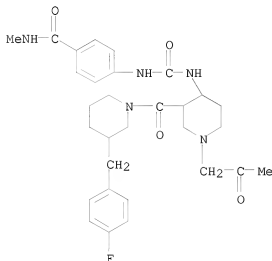
IT 1144668-00-7

RL: PRPH (Prophetic)

(Preparation of N-ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine receptor activity)

RN 1144668-00-7 CAPLUS

CN Benzamide, 4-[[[3-[[3-[(4-fluorophenyl)methyl]-1-piperidinyl]carbonyl]-1-(2-oxopropyl)-4-piperidinyl]amino]carbonyl]amino]-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:984034 CAPLUS

DOCUMENT NUMBER: 136:410949

TITLE: Preparation of N-ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine receptor activity

INVENTOR(S): Ko, Soo S.; Pruitt, James R.; Wacker, Dean A.; Batt, Douglas G.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 485 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002525 A2		20020110	WO 2001-XA20989	20010629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,				

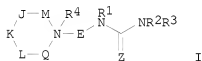
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,  
 GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR

PRIORITY APPLN. INFO.:

US 2000-215215P

20000630

GI



AB Title compds. [I; M = null, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, K = CH2, CHR5, CHR6, CR6R6, CR5R6; L = CHR5, CR5R6; when M = null, J = CH2, CHR5, CHR13, CR5R13; Z = O, S, NR1a, C(CN)2, CH(NO2), CHCN; R1a = H, alkyl, cycloalkyl, CONR1bR1b, OR1b, CN, NO2, (alkyl)phenyl; R1b = H, alkyl, cycloalkyl, Ph; E = G(CHR')mB(CHR')m; G = bond, CO, SO2; B = (substituted) 5-7 membered saturated heterocyclyl; R1, R2 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl; R3 = (substituted) alkyl, alkenyl, alkynyl, fluoroalkyl, haloalkyl, (alkyl)carbocyclyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R5 = (substituted) (alkyl)cycloalkyl, alkylheterocyclyl; R6 = alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, etc.; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R' = H, alkyl, alkenyl, alkynyl, etc.; m = 0-2], were prepared as modulators of CCR3 chemokine receptor activity (no data). Thus, (3R,4R)-4-amino-3-[(S)-3-(4-fluorobenzyl)piperidine-1-carbonyl]piperidine-1-carboxylic acid tert-Bu ester (preparation given) in THF/Et3N was treated with 3-acetylphenyl isocyanate followed by stirring for 17 h to give 62% (3R,4R)-4-[3-(3-acetylphenyl)ureido]-3-[(S)-3-(4-fluorobenzyl)piperidine-1-carbonyl]piperidine-1-carboxylic acid tert-Bu ester. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

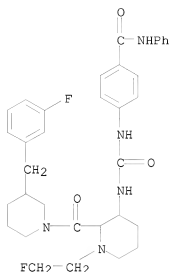
IT 1159256-11-7

RL: PRPH (Prophetic)

(Preparation of N-ureidoheterocyclalkylpiperidines as modulators of CCR3 chemokine receptor activity)

RN 1159256-11-7 CAPLUS

CN Benzamide, 4-[[[1-(2-fluoroethyl)-2-[[3-[(3-fluorophenyl)methyl]-1-piperidinyl]carbonyl]-3-piperidinyl]amino]carbonyl]amino]-N-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:937766 CAPLUS

DOCUMENT NUMBER: 136:410947

TITLE: Preparation of piperidinoalkylureas as chemokine receptor modulators

INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 333 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

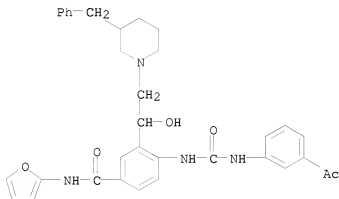
English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098270 A2		20011227WO	2001-XG19752	20010620
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RW:	AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR			
PRIORITY APPLN. INFO.:			US 2000-213208P	20000621
			US 2000-597400	20000621

AB The title compds. were prepared as chemokine receptor modulators (no data). Thus, PhCH<sub>2</sub>Z(CH<sub>2</sub>)<sub>3</sub>NHR (Z = piperidine-4,1-diyl) (I; R = H) (preparation given) was amidated by 3-(NC)C<sub>6</sub>H<sub>4</sub>NCO to give I [R = CONHC<sub>6</sub>H<sub>4</sub>(CN)-3]. [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and

publication system constraints.]  
 IT 1069107-67-0  
 RL: PRPH (Prophetic)  
 (Preparation of piperidinoalkylureas as chemokine receptor modulators)  
 RN 1069107-67-0 CAPLUS  
 CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:937751 CAPLUS

DOCUMENT NUMBER: 136:410933

TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.

INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing  
 PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA; Bristol-Myers Squibb Pharmaceutical Co.

SOURCE: PCT Int. Appl., 446 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

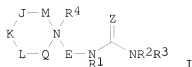
LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098269 A2		20011227WO	2001-XM19745	20010620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR				
PRIORITY APPLN. INFO.:			US 2000-213051P	20000621
			US 2000-598821	20000621

GI





AB [Title compds. I; M = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>13</sub>, CR<sub>13</sub>R<sub>13</sub>, CR<sub>5</sub>R<sub>13</sub>; Q = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>13</sub>, CR<sub>13</sub>R<sub>13</sub>, CR<sub>5</sub>R<sub>13</sub>; J, L = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>6</sub>, CR<sub>6</sub>R<sub>6</sub>, CR<sub>5</sub>R<sub>6</sub>; Z = O, S; M = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>13</sub>, CR<sub>13</sub>R<sub>13</sub>, CR<sub>5</sub>R<sub>13</sub>; K = CHR<sub>5</sub>, CR<sub>5</sub>R<sub>6</sub>; Z = O, S; E = (CHR<sub>7</sub>)(CHR<sub>9</sub>)v(CR<sub>11</sub>R<sub>12</sub>); R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R<sub>2</sub>R<sub>3</sub> = atoms to form a (substituted) 5-7 membered ring; R<sub>3</sub>, R<sub>5</sub> = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R<sub>4</sub> = null, O, alkyl, alkenyl, alkynyl, etc.; R<sub>4</sub> with R<sub>7</sub>, R<sub>9</sub>, or R<sub>11</sub> = atoms to form a 5-7 membered ring; R<sub>7</sub>, R<sub>9</sub> = H; R<sub>4</sub>R<sub>7</sub>, R<sub>4</sub>R<sub>9</sub> = (substituted) spirocyclyl; R<sub>13</sub> = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R<sub>11</sub>R<sub>12</sub> = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. [This abstract record is one of 15 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

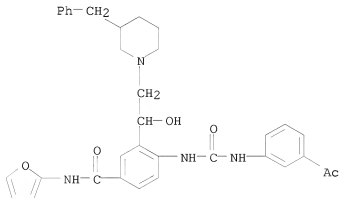
IT 1069107-67-0

RL: PRPH (Prophetic)

(Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.)

RN 1069107-67-0 CAPLUS

CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT:

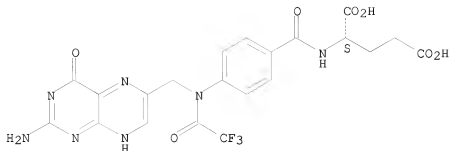
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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:461152 CAPLUS  
 DOCUMENT NUMBER: 135:211279  
 TITLE: Phosphinic Acid Pseudopeptides Analogous to  
 Glutamyl- $\gamma$ -glutamate: Synthesis and Coupling to  
 Pteroyl Azides Leads to Potent Inhibitors of  
 Folylpoly- $\gamma$ -glutamate Synthetase  
 AUTHOR(S): Valiaeva, Nadya; Bartley, David; Konno, Tsutomu;  
 Coward, James K.  
 CORPORATE SOURCE: Departments of Medicinal Chemistry and Chemistry,  
 University of Michigan, Ann Arbor, MI, 48109-1055, USA  
 SOURCE: Journal of Organic Chemistry (2001), 66(15),  
 5146-5154  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 135:211279  
 AB Several routes to a complex phosphinate phosphapeptide analogous to the  
 $\gamma$ -glutamyl peptide Glu- $\gamma$ -Glu have been investigated.  
 Formation of  $\gamma$ -phosphono glutamate derivs. via addition of a  
 phosphorus-based radical to protected vinylglycine was found to be of  
 limited value because of the elevated temps. required. Alkylation and  
 conjugate addition reactions of trivalent phosphorus (PIII) species were  
 investigated. In situ generation of bis-trimethylsilyl esters of  
 phosphinous acids proved to be an effective route to phosphinates of  
 modest structural complexity. However, this chemical could not be extended  
 to the incorporation of an amino acid moiety at the N-terminal side of the  
 desired phosphinate. A successful synthesis of the target phosphinate  
 phosphapeptide was effected using PIII chemical and dehydrohalogenation to  
 yield an  $\alpha,\beta$ -unsatd. phosphinic acid ester, following which  
 conjugate addition of di-Et acetamidomalonate and acid-mediated hydrolysis  
 afforded the desired phosphinate phosphapeptide. Coupling of the  
 unprotected phosphinate phosphapeptide with two acyl azides derived from  
 folic acid and methotrexate led to the corresponding  
 pteroylphosphapeptides of interest as possible mimics of tetrahedral  
 intermediates in the reaction catalyzed by folylpolyglutamate synthetase.  
 IT 357933-53-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (synthesis of phosphinic acid pseudopeptides analogous to  
 glutamyl- $\gamma$ -glutamate and coupling to pteroyl azides leading to  
 potent inhibitors of folylpoly- $\gamma$ -glutamate synthetase)  
 RN 357933-53-0 CAPLUS  
 CN L-Glutamic acid, N-[4-[[[2-amino-1,4-dihydro-4-oxo-6-  
 pteridinyl)methyl](trifluoroacetyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

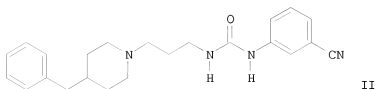
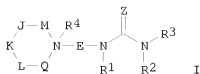


OS.CITING REF COUNT: 42 THERE ARE 42 CAPLUS RECORDS THAT CITE THIS  
RECORD (43 CITINGS)  
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

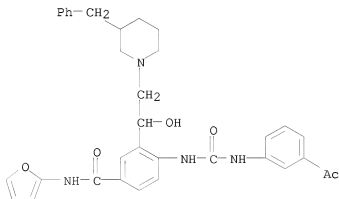
L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2000:911769 CAPLUS  
DOCUMENT NUMBER: 133:368977  
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators  
of chemokine receptor activity  
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.;  
Santella, Joseph B., III; Wacker, Dean A.; Watson,  
Paul S.; Varnes, Jeffrey G.  
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA  
SOURCE: PCT Int. Appl., 394 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035451 A1		20000622WO	1999-XN30332	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1998-112717P	19981218
			US 1999-161243P	19991022

GI



- AB The title compds. [I; M = absent, CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Q = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; J, K, L = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Z = O, S; E = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH(OH)CH(Ph), etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>2</sub> and R<sub>3</sub> may join to form (un)substituted 5-7 membered ring; R<sub>3</sub> = (un)substituted Ph, naphthyl, adamantyl, etc.; R<sub>4</sub> = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 17 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]
- IT 1069107-67-0  
 RL: PRPH (Prophetic)  
 (Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)
- RN 1069107-67-0 CAPLUS
- CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:911762 CAPLUS

DOCUMENT NUMBER: 133:368970

TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity

INVENTOR(S): Ko, Soo; Clark, Cheryl Mcardle; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA

SOURCE: PCT Int. Appl., 316 pp.

CODEN: PIXXD2

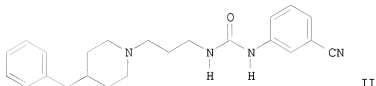
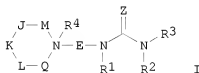
DOCUMENT TYPE: Patent

LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035453 A1		20000622	WO 1999-XG30335	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1998-112717P	19981218
			US 1999-161137P	19991022

GI



AB The title compds. [I; M = absent, CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Q = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; J, K, L = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Z = O, S; E = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH(OH)CH(Ph), etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>2</sub> and R<sub>3</sub> may join to form (un)substituted 5-7 membered ring; R<sub>3</sub> = (un)substituted Ph, naphthyl, adamantyl, etc.; R<sub>4</sub> = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

[This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

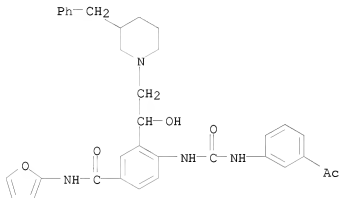
IT 1069107-67-0

RL: PRPH (Prophetic)

(Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 1069107-67-0 CAPLUS

CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:911754 CAPLUS

DOCUMENT NUMBER: 133:368962

TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity

INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Gardner, Daniel S.

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 327 pp.

CODEN: PIXXD2

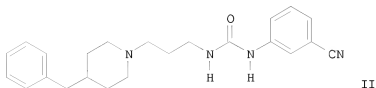
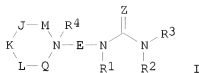
DOCUMENT TYPE: Patent

LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035449 A1		20000622	WO 1999-XG30292	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:		US 1998-112717P	19981218	
		US 1999-161122P	19991022	

GI



AB The title compds. [I; M = absent, CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Q = CH<sub>2</sub>, CHR<sub>5</sub>, etc.; J, K, L = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Z = O, S; E = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH(OH)CH(Ph), etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>2</sub> and R<sub>3</sub> may join to form (un)substituted 5-7 membered ring; R<sub>3</sub> = (un)substituted Ph, naphthyl, adamantyl, etc.; R<sub>4</sub> = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

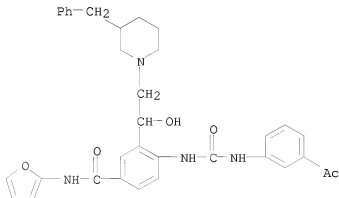
IT 1069107-67-0

RL: PRPH (Prophetic)

(Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 1069107-67-0 CAPLUS

CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

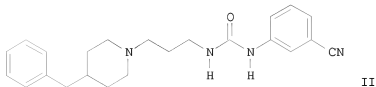
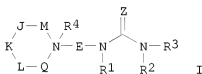


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2000:911744 CAPLUS  
 DOCUMENT NUMBER: 133:368952  
 TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity  
 INVENTOR(S): Ko, Soo S.; Duncia, John V. K.; Santella, Joseph B., III; Wacker, Dean A.; Kim, Ui Tae  
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA  
 SOURCE: PCT Int. Appl., 351 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035454 A1		20000622	WO 1999-XN30336	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1998-112717P	19981218
			US 1999-161184P	19991022

GI



AB The title compds. [I; M = absent, CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Q = CH<sub>2</sub>, CHR<sub>5</sub>, etc.; J, K, L = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Z = O, S; E = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH(OH)CH(Ph), etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>2</sub> and R<sub>3</sub> may join to form (un)substituted 5-7 membered ring; R<sub>3</sub> = (un)substituted Ph, naphthyl, adamantyl, etc.; R<sub>4</sub> = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given.



Compds. I are effective at 1.0-20 mg/kg/da (oral dosage). [This abstract record is one of 17 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

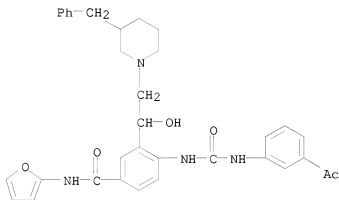
IT 1069107-67-0

RL: PRPH (Prophetic)

(Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 1069107-67-0 CAPLUS

CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:911724 CAPLUS

DOCUMENT NUMBER: 133:368937

TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity

INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Santella, Joseph B. Iii; Wacker, Dean A. K.

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 388 pp.

CODEN: PIXXD2

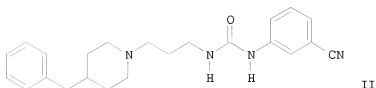
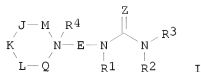
DOCUMENT TYPE: Patent

LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035452 A1		20000622	WO 1999-XB30334	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:		US 1998-112717P		19981218
		US 1999-161221P		19991022

GI



AB The title compds. [I; M = absent, CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Q = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; J, K, L = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Z = O, S; E = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH(OH)CH(Ph), etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>2</sub> and R<sub>3</sub> may join to form (un)substituted 5-7 membered ring; R<sub>3</sub> = (un)substituted Ph, naphthyl, adamantyl, etc.; R<sub>4</sub> = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

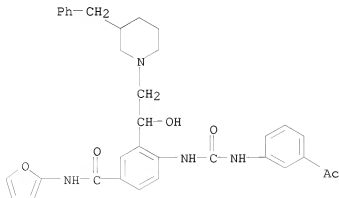
IT 1069107-67-0

RL: PRPH (Prophetic)

(Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 1069107-67-0 CAPLUS

CN Benzamide, 4-[[[(3-acetylphenyl)amino]carbonyl]amino]-N-2-furanyl-3-[1-hydroxy-2-[3-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:148856 CAPLUS

DOCUMENT NUMBER: 126:157289

ORIGINAL REFERENCE NO.: 126:30415a

TITLE: Benzamide derivatives and their use as vasopressin antagonists

INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sato, Kentaro; Tanaka, Hirokazu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 322 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

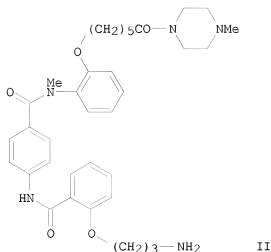
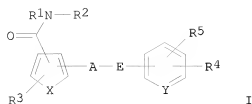
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9641795	A1	19961227	WO 1996-JP1533	19960606 <--
W: AU, CA, CN, HU, IL, JP, KR, MX, NZ, SG, US, AM, AZ, BY, KG, KZ, MD, RU, IJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2223869	A1	19961227	CA 1996-2223869	19960606 <--
AU 9659110	A	19970109	AU 1996-59110	19960606 <--
EP 832061	A1	19980401	EP 1996-916324	19960606 <--
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1192729	A	19980909	CN 1996-196175	19960606 <--
HU 9802694	A2	19990201	HU 1998-2694	19960606 <--
HU 9802694	A3	19990329		
JP 11508244	T	19990721	JP 1996-502896	19960606 <--
AT 205185	T	20010915	AT 1996-916324	19960606 <--
ES 2159738	T3	20011016	ES 1996-916324	19960606 <--
JP 4042160	B2	20080206	JP 1997-502896	19960606
ZA 9604895	A	19961212	ZA 1996-4895	19960607 <--
US 6054457	A	20000425	US 1997-973103	19971209 <--
GR 3036881	T3	20020131	GR 2001-401746	20011011 <--
JP 2008074855	A	20080403	JP 2007-259213	20070904
PRIORITY APPLN. INFO.:			GB 1995-11694	A 19950609
			JP 1997-502896	A3 19960606
			WO 1996-JP1533	W 19960606

OTHER SOURCE(S): MARPAT 126:157289

GI



AB The invention relates to new benzamide derivs. having vasopressin antagonistic activity, and to pharmaceutically acceptable salts thereof, processes for their preparation, and pharmaceutical compns. The compds. are represented by formula I [R1 = (un)substituted aryl, cycloalkyl, heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl; R3 = H, halo, OH, (un)substituted acyloxy, alkyl, (cyclo)alkoxy, NO2, amino, acyl; R4 = OH, halo, NO2, (un)substituted amino, acyloxy, alkoxy, alkylthio, alk(en/yn)yl, etc; R5 = H, alkyl, alkoxy, halo; A = bond, O, NH; E = alkylene, alkenylene, CO, SO2, etc.; X = CH:CH, CH:N, S; Y = CH, N]. Approx. 470 synthetic examples of I and over 100 intermediates are described. For instance, amidation of 2-(PhCH2O)C6H4CO2H with 4-H2NC6H4CONMeC6H4[O(CH2)5CO2Et]-2 (preparation given), followed by saponification of

the ester, amidation with N-methylpiperazine, hydrogenolytic debenzoylation, etherification with N-(3-bromopropyl)phthalimide, hydrazinolysis of the imide, and acidification, gave title compound II as the di-HCl salt (III). In assays for binding at human vasopressin V1 receptors and cloned human V2 receptors in vitro, III had IC50 values of 14 and 1400 nM, resp.

IT 186657-09-0P

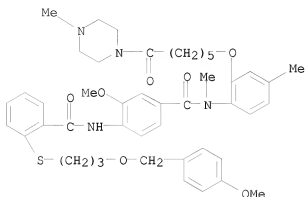
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide derivs. as vasopressin antagonists)

RN 186657-09-0 CAPLUS

CN Benzamide, 3-methoxy-4-[[2-[[3-[[4-methoxyphenyl)methoxy]propyl]thio]benzoyl]amino]-N-methyl-N-[4-methyl-2-

[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]- (CA INDEX NAME)



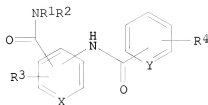
OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1996:708296 CAPLUS  
 DOCUMENT NUMBER: 125:328306  
 ORIGINAL REFERENCE NO.: 125:61495a,61498a  
 TITLE: Preparation of benzamide derivatives as vasopressin antagonists  
 INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Hemmi, Keiji; Tanaka, Hirokazu  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCI Int. Appl., 281 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

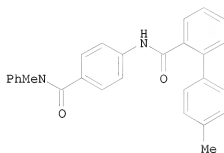
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WO 9529152	A1	19951102	WO 1995-JP788	19950421 <--
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EP 757670	A1	19970212	EP 1995-916028	19950421 <--
EP 757670	B1	19990113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09512528	T	19971216	JP 1995-527525	19950421 <--
JP 3845869	B2	20061115		
AT 175661	T	19990115	AT 1995-916028	19950421 <--
ES 2127524	T3	19990416	ES 1995-916028	19950421 <--
US 6211242	B1	20010403	US 1998-722243	19980130 <--
PRIORITY APPLN. INFO.:			GB 1994-8185	A 19940425
			WO 1995-JP788	W 19950421

OTHER SOURCE(S):  
GI

MARPAT 125:328306



I



II

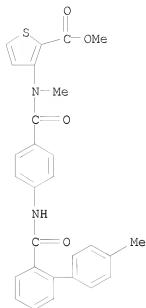
AB Title compds. [I; (cyclo)alkyl, aryl, heterocyclyl, etc.; R2 = (cyclo)alkyl, arylalkyl, etc.; R3 = H, halo, alkyl, alkoxy, etc.; R4 = alkyl, (un)substituted aryl; X,Y = CH or N] were prepared. Thus, PhNHMe was amidated by 4-(O2N)C6H4COCl and the reduced product amidated by 4-MeC6H4C6H4(CO2H)-2 to give title compound II. Data for in vitro vasopressin antagonism by I were given.

IT 183491-98-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzamide derivs. as vasopressin antagonists)

RN 183491-98-7 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[methyl[4-[(4'-methyl[1,1'-biphenyl]-2-yl)carbonyl]amino]benzoyl]amino]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:849158 CAPLUS

DOCUMENT NUMBER: 123:256522

ORIGINAL REFERENCE NO.: 123:45879a,45882a

TITLE: Preparation of amide group-containing compounds as  
antithrombotics

INVENTOR(S): Himmelsbach, Frank; Linz, Guenter; Pieper, Helmut;  
Austel, Volkhard; Mueller, Thomas; Weisenberger,  
Johannes; Guth, Brian

PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany

SOURCE: Ger. Offen., 46 pp.

CODEN: GWXXBX

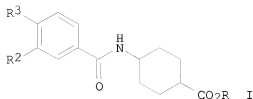
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

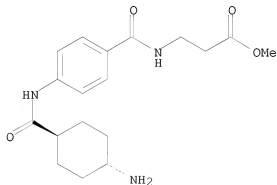
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4326344	A1	19950209	DE 1993-4326344	19930805 <--
EP 638553	A1	19950215	EP 1994-111620	19940726 <--
R: AT, BE, CH,	DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
CA 2129374	A1	19950206	CA 1994-2129374	19940803 <--
JP 07179424	A	19950718	JP 1994-183292	19940804 <--
PRIORITY APPLN. INFO.:			DE 1993-4326344	A 19930805
OTHER SOURCE(S):			CASREACT 123:256522; MARPAT 123:256522	
GI				



- AB R1Z1Z2Z3Z4R4 [R1 = (un)substituted (di)azacycloalkyl, pyridyl; R4 = CO2H, alkoxy carbonyl, SO2H, tetrazolyl, etc.; Z = COZ5, Z5CO, Z5CONH, NHCOZ5, etc.; Z1 = bond, alk(en)ylene, O, S, NH, etc.; Z2 = (un)substituted phenylene, cycloalkylene, etc.; Z3 = alk(en)ylene, phenylene, etc.; Z4 = bond, OZ5, SOO-2Z5, NHZ5, etc.; Z5 = alkylene] were prepared. Thus, quinuclidine was condensed with the ylide from 3-(Ph3P+H2C)C6H4CO2Me Br- and the reduced and saponified product condensed with Me trans-4-aminocyclohexanecarboxylate to give title compound trans-I.HCl (R = Me, R2 = 4-quinuclidinylethyl, R3 = H). Trans-I.HCl (R = R2 = H, R3 = 4-quinuclidinylmethoxy) had IC50 of 85nM against BIBU 52 binding at human thrombocytes in vitro.
- IT 168891-81-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amide group-containing compds. as antithrombotics)
- RN 168891-81-4 CAPLUS
- CN  $\beta$ -Alanine, N-[4-[[4-aminocyclohexyl]carbonyl]amino]benzoyl]-, methyl ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)



L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1975:113179 CAPLUS  
 DOCUMENT NUMBER: 82:113179  
 ORIGINAL REFERENCE NO.: 82:18091a,18094a  
 TITLE: Fiber-reactive azo dyes  
 INVENTOR(S): Yamada, Yasushi; Ohno, Hiroaki  
 PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd.  
 SOURCE: Ger. Offen., 13 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2425307	A1	19741212	DE 1974-2425307	19740524 <--
DE 2425307	C2	19840530		
JP 50007817	A	19750127	JP 1973-57691	19730525 <--
JP 57014390	B	19820324		
FR 2230694	A1	19741220	FR 1974-17952	19740522 <--
CH 609365	A5	19790228	CH 1974-7083	19740522 <--
GB 1471737	A	19770427	GB 1974-23362	19740524 <--
CH 616176	A5	19800314	CH 1978-6588	19780616 <--
PRIORITY APPLN. INFO.:			JP 1973-57691	A 19730525
			CH 1974-7083	A 19740522

GI For diagram(s), see printed CA Issue.

AB Reactive azo dyes I (3-SO<sub>3</sub>Na; R = 4-NHCOCBr:CH<sub>2</sub>)(II) [54633-16-8] and I (4-SO<sub>3</sub>Na; R = 3-NHCOCBr:CH<sub>2</sub>)(III) [54575-03-0] were prepared and used for dyeing wool light-, wash-, and perspirationfast red shades. Thus, successive reaction of 2,4-(H<sub>2</sub>N)2C<sub>6</sub>H<sub>3</sub>SO<sub>3</sub>H [88-63-1] with ClCH<sub>2</sub>COC1 [79-04-9], diazotization, coupling with 1-[4-( $\alpha$ -bromoacrylamido)benzamido]-8-hydroxynaphthalene-3,6-disulfonic acid [54575-04-1], and salting gave II. Reaction of I (4-SO<sub>3</sub>Na; R = 3-NH<sub>2</sub>) [54575-01-8] with CH<sub>2</sub>BrCHBrCOC1 [18791-02-1] followed by reaction with NaOH 15-20 min at 10-15° and pH 11-12 gave III.

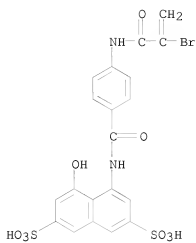
IT 54575-04-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (coupling of, with diazotized aminobenzenesulfonic acid derivative)

RN 54575-04-1 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 4-[[4-[(2-bromo-1-oxo-2-propen-1-yl)amino]benzoyl]amino]-5-hydroxy-, sodium salt (1:2) (CA INDEX NAME)

10/923,271



● 2 Na

=> file stnguide